

# PATENT COOPERATION TREATY

## PCT

### INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY



(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 08 JUN 2005

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Applicant's or agent's file reference SMC 60596/WO		<b>FOR FURTHER ACTION</b>		See Form PCT/PEA/416
International application No. PCT/GB2004/002339		International filing date (day/month/year) 03.06.2004	Priority date (day/month/year) 07.06.2003	
International Patent Classification (IPC) or national classification and IPC C07B39/00, C07C17/093, C07C201/12, C07C25/18, C07C205/12				
Applicant AVECIA LIMITED et al.				
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 6 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>				
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input checked="" type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>				
Date of submission of the demand  15.11.2004		Date of completion of this report  06.06.2005		
Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer Telephone No. +49 89 2399- 8335 Seelmann 		

**INTERNATIONAL PRELIMINARY REPORT  
ON PATENTABILITY**

International application No.  
PCT/GB2004/002339

**Box No. I Basis of the report**

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
  - ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
    - ☐ international search (under Rules 12.3 and 23.1(b))
    - ☐ publication of the international application (under Rule 12.4)
    - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements\*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):*

**Description, Pages**

1-12 as originally filed

**Claims, Numbers**

1-12 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
    - ☐ the description, pages
    - ☐ the claims, Nos.
    - ☐ the drawings, sheets/figs
    - ☐ the sequence listing (*specify*):
    - ☐ any table(s) related to sequence listing (*specify*):
  4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
    - ☐ the description, pages
    - ☐ the claims, Nos.
    - ☐ the drawings, sheets/figs
    - ☐ the sequence listing (*specify*):
    - ☐ any table(s) related to sequence listing (*specify*):

\* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT  
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**Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 12

because:

☒ the said international application, or the said claims Nos. 12 relate to the following subject matter which does not require an international preliminary examination (specify):

**see separate sheet**

☒ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. 12 are so unclear that no meaningful opinion could be formed (*specify*):

**see separate sheet**

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the said claims Nos.

☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form

☐ has not been furnished

☐ does not comply with the standard

the computer readable form

☐ has not been furnished

☐ does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-bis of the Administrative Instructions.

☐ See separate sheet for further details

**INTERNATIONAL PRELIMINARY REPORT  
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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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**1. Statement**

Novelty (N)	Yes: Claims	1-11
	No: Claims	7-10
Inventive step (IS)	Yes: Claims	4-6
	No: Claims	1-11
Industrial applicability (IA)	Yes: Claims	1-11
	No: Claims	

**2. Citations and explanations (Rule 70.7):**

**see separate sheet**

**Item V.**

The following document is referred to in this communication:

- D1** K. K. Laali et al., J. Fluor. Chem., 107, 31-34 (2001) cited in the application
- D2** EP 0 776 877
- D3** EP 0 596 684
- D4** D. W. Kim et al., J. Org. Chem., 68, 4281-4285 (2003)

**V.1 Novelty - Art. 33(2) PCT**

**D1** discloses fluorodediazoniation reactions performed in ionic liquid solvents without the need to first isolate the diazonium salt. The reactions are performed in a Schlenk tube, i.e. inert conditions avoiding the use of an aqueous solvent.

**D2** and **D3** relate to the preparation of substituted aryl compounds from aryl amine equivalent via diazonium formation with CuX/R and HBr followed by nucleophilic substitution. Both described processes therein do not require the isolation of the diazonium salt and are characterized by high yields ca. 80-90%.

**D4** describes the study of the reactivity of various metal halides in nucleophilic substitution reactions in the presence of ionic liquids.

None of the above cited documents pertain to the present claimed process so that novelty could be recognized for the process according to claims 1-11.

**V.2 Inventive step - Art. 33(3) PCT**

No inventive step is recognized for the process according to claims 1-11 for the following reasons:

2.1 The closest related process of **D2** differs in that no ionic liquid is used. The technical problem is to provide another process for performing nucleophilic substitution reactions on aryl diazonium salts. The solution is the process according to claim 1 using a hydrophobic ionic liquid.

2.1a The proposed process has been proven to be solution of the present technical

process in case of starting materials being 4,4'-methylenedianiline or 4-nitroaniline (examples 1-3). In these examples, it is noted that the reactivity of the nucleophile is different, the chloride being less reactive than the bromide. This reactivity is namely influenced by the solvent media (D5, introduction on page 31, 2nd paragraph). It is doubtful if the proposed solution works for the entire scope of protection, for instance for fluorodediazotiation.

- 2.1b Ionic liquids are regarded as alternative, environmentally friendly reaction media for conventional organic reactions. They have been proven to increase selectivity and facilitate the catalyst recovery. Additionally the immiscibility of some ionic liquids in water (solvent in **D2**) affords facile extraction of the desired products from ionic liquids (**D4**, introduction on pages 4281-4282 and figure 1). Accordingly in view of the latest development in ionic liquid research, the man skilled in the art would be inclined to combine the teachings of **D2** and **D4** and come up to the present solution.
- 2.2 If **D1** is considered as the closest prior art document. Its disclosed process differs only from the present one in that it is performed under anhydrous conditions allowing recovery yields of 100% (cf table 2). All the examples in this document are performed under anhydrous conditions even though the rest of the document is silent about using such stringent conditions and such conditions are not necessary for performing diazotisation/nucleophilic reactions (**D2/D3**). In view of **D4** the use of water in connection to ionic liquids is not excluded and on the contrary encouraged since the immiscibility of some of them in water facilitates the recovery of the product. Accordingly the proposed solution is obvious in view of **D1** and **D4**.

### V.3 Further comments

- 3.1 Claim 12 refers to the description, which renders the assessment of the sought scope of protection unclear. Such a formulation is not allowable according to rule 6.2 (a) or PCT Guidelines CII-5.10.